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US-PAT-NO: 4990329
DOCUMENT-IDENTIFIER: US 4990329 A
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TITLE: Composition for treating oral diseases

DATE-ISSUED: February 5, 1991

INVENTOR-INFORMATION:

| NAME | CITY | STATE | ZIP CODE | COUNTRY |
|---|---|---|---|---|
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US-CL-CURRENT: 424/53; 424/49, 424/52, 514/900, 514/901, 514/902

CLAIMS:

What is claimed is:

1. An aqueous mouth rinse composition comprising:

(a) from about 0.05% to about 5% of a monoperphthalate compound having the general structure ##STR4## wherein M.sup.+n is a cation selected from the group consisting of an alkali metal, an alkaline earth metal, a non-toxic heavy metal, and trialkylammonium; and R is one or more substituents compatible with the peroxy acid functionality of the aromatic ring; and

(b) a mouth rinse carrier comprising:

(1) from about 0.1% to about 10% of a flavoring agent;

(2) from about 0.1% to about 10% of a sweetening agent;

(3) from 0% to 20% of a humectant;

(4) from 0% to 2% of an emulsifying agent;

(5) from 0% to 60% ethanol; and

(6) the balance water.

2. An aqueous mouth rinse composition according to claim 1 wherein R is selected from the group consisting of hydrogen, substituted and unsubstituted saturated alkyl having from 1 to about 20 carbon atoms, substituted and unsubstituted aryl, substituted and unsubstituted benzyl, chloro, fluoro, nitro, sulphonate, trifluoromethyl, trialkylammonium, cyano, carboxy, carboxylate, percarboxylate, alkoxy, and combinations thereof.

3. An aqueous mouthrinse composition according to claim 2 wherein M.sup.+n is a divalent cation and the concentration of the monoperphthalate compound is from about 0.1% to about 2%.

4. An aqueous mouth rinse composition according to claim 2 wherein the monoperphthalate compound is magnesium monoperphthalate having the formula: ##STR5##

5. An aqueous mouth rinse composition according to claim 4 comprising:

(a) magnesium monoperphthalate acid in a concentration such that the available oxygen concentration generated by the magnesium monoperphthalate is from about 60 ppm to about 300 ppm;

(b) from about 0.1% (w/v) to about 10% (w/v) sweetening and flavoring agents;

(c) from about 0% to about 0.2% coloring agent;

(d) a buffer selected from the group consisting of phosphate, citrate, and citrate/carbonate; and said composition having a pH from about 5 to about 8.

6. An aqueous mouth rinse composition according to claim 5 wherein the pH is adjusted to from about 7.0 to about 7.5.

7. A toothpaste composition comprising:

(a) from about 0.1% to about 50% of a monoperphthalate compound having the formula ##STR6## wherein M.sup.+n is a cation selected from the group consisting of an alkali metal, an alkaline earth metal, a non-toxic heavy metal, and trialkylammonium; and R is one or more substituents compatible with the peroxy acid functionality of the aromatic ring; and

(b) a toothpaste carrier comprising:

(1) from about 0.1% to about 10% of a flavoring agent;

(2) from about 0.1% to about 10% of a sweetening agent;

(3) from 0% to 95% by weight of abrasives;

(4) from 0% to about 20% by weight of a sudsing agent;

(5) from 0% to about 10% by weight of a fluoride compound;

(6) from 0% to about 50% by weight of a thickening agent; and

(7) from 0% to about 70% of a humectant.

8. A toothpaste composition according to claim 7 in which R is selected from the group consisting of hydrogen, substituted and unsubstituted saturated alkyl having from 1 to about 20 carbon atoms, substituted and unsubstituted aryl, substituted and unsubstituted benzyl, chloro, fluoro, nitro, sulphonate, trifluoromethyl, trialkylammonium, cyano, carboxy, carboxylate, percarboxylate, alkoxy, and combinations thereof.

9. A toothpaste composition according to claim 8 wherein R is hydrogen, or the pharmaceutically-acceptable salts or esters of that compound.

10. A toothpaste composition according to claim 9 wherein the monoperphthalate acid compound is magnesium monoperphthalate, having the formula: ##STR7##

11. A toothpaste compositin according to claim 10 comprising:

(a) from about 1% to about 35% of magnesium monoperphthalate; and

(b) from about 65% to about 99% of a toothpaste carrier comprising:

(1) from 0% to about 100% of an organic carrier;

(2) from 0% to about 60% by weight of abrasives;

(3) from about 0.5% to about 10% by weight of a sudsing agent;

(4) from about 0.5% to about 5.0% by weight thickening agent;

(5) from 0% to about 36% of a humectant;

(6) from 0% to about 0.5% coloring agent; and

(7) from 0% to about 50% of a buffer capable of buffering the composition during use in the oral cavity to a pH of from about 5 to about 8.

12. A mouth spray composition comprising:

(a) from about 0.1% to about 25% of a monoperphthalate compound having the general structure: ##STR8## wherein M.sup.+n is a cation selected from the group consisting of an alkali metal, an alkaline earth metal, a non-toxic heavy metal, and trialkylammonium; and R is one or more substituents compatible with the peroxy acid functionality of the aromatic ring; and

(b) a mouth spray carrier comprising:

(1) from about 0.1% to about 10% of a flavoring agent;

(2) from about 0.1% to about 10% of a sweeting agent;

(3) from 0% to 20% of a humectant;

(4) from 0% to 2% of an emulsifying agent;

(5) from 0% to 60% ethanol; and

(6) the balance water.

13. A mouth spray composition according to claim 12 wherein the mouth spray carrier is buffered such that the oral cavity during use of the mouth spray has a pH of from about 5 to about 8.

14. A mouth spray according to claim 12 wherein the monoperphthalate compound is magnesium monoperphthalate having the formula: ##STR9##

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Brief Summary Text (4):
Virtually all anaerobic infections arise endogenously. Anaerobic bacteria are a part of the normal flora of the skin. They also exist prevalently on all mucous membrane surfaces as indigenous flora. Given the proper circumstances and opportunity to penetrate tissues, anaerobes from the indigenous flora set up infections, such as gas gangrene, vulvovaginal abscess, chronic sinusitis, and Vincent's disease. While treatment with hyperbaric oxygen or hydrogen peroxide may be effective against certain anaerobe infections, there is a need for safe and effective methods of treating or preventing anaerobe infections generally.

Brief Summary Text (15):
U.S. Pat. No. 3,988,433, issued Oct. 26, 1976 to Benedict, and Great Britain Pat. No. 1,477,691, issued Oct. 19, 1977 to Jones et al., disclose compositions which contain alkyl and aryl peroxy acids. These compositions are used to remove stains from teeth.

Brief Summary Text (23):
The present invention relates to a method of treating or preventing topically-treatable anaerobe infections, especially diseases of the oral cavity (e.g. periodontal disease), in humans or lower animals by topically applying to the tissue, especially the tissue of the oral cavity, of the human or lower animal, a safe and effective amount of a singlet oxygen generating organic peroxy acid compound. By "singlet oxygen generating organic peroxy acid compound" as used herein is meant an organic acyl peroxide compound (e.g., an organic molecule which has at least one--CO.sub.3 H substituent) by itself, or in combination with hydrogen peroxide, whose oxidative ability is inhibited by greater than about 30% by a well-known singlet oxygen quencher (e.g. tertiary aliphatic amines such as 1,4-diazabicyclo[2.2.2.]octane ("DABCO")) when the quencher is added at the same molar concentration as the organic acyl peroxide in solution. This inhibition can be monitored in two ways: (a) by monitoring the oxidation by the organic peroxy acid compound of a reactive substrate such as 1,3-diphenylisobenzofuran in the presence and absence of equimolar amounts of the singlet oxygen quencher, especially DABCO; and (b) by monitoring the antibacterial activity towards anaerobic bacteria (especially Fusobacterium such as F.nucleatum) of the organic peroxy acid compound in the presence and absence of equimolar amounts of the singlet oxygen quencher, especially DABCO. Organic peroxy acid compounds whose activity, as monitored by (a) or (b) above are inhibited to an extent greater than about 30% by the singlet oxygen quencher (e.g., DABCO) are considered for the purposes of this invention to be singlet oxygen generating organic peroxy acid compounds.

Brief Summary Text (53):
The carriers of the present invention can include the usual and conventional components of toothpastes (including gels), mouth rinses, mouth sprays, chewing gums, lozenges, and sachets as more fully described hereinafter. Generally, however, the carriers are limited to materials which are free of hydroxyl groups and normally also to materials which do not contain reactive sites, such as for example amino, amido, iodo, bromo, and sulfhydryl groups, and unsaturated, imino, and thioether linkages when the composition of the present invention is to be stored for any appreciable period of time. Thus, it is preferred that the monoperphthalic acid

compound be substantially anhydrous until just prior to use, for example, preparing a mouth rinse solution just prior to use by dissolving substantially anhydrous concentrate of monoperphthalic acid compound in water to the necessary concentration for use in the method of treatment of the present invention.

Detailed Description Paragraph Table (2):

Composition A magnesium monoperphthalate 5% triacetin balance Composition B magnesium monoperphthalate 2% mineral oil (SSF-60) balance Composition C magnesium monoperphthalate 10% menthyl acetate and menthene (1:1) 2% sodium alkyl (C.sub.10 -C.sub.12) sulfate 4% diethylether of polyethylene glycol (M.W.1000) balance Composition D Component I: magnesium monoperphthalate 10% potassium polyethyoxylated (4) 4% coconut fatty alcohol sulfate methyl laurate balance Component II: dicalcium orthophosphate 40% eucalyptol 2% phosphate buffer 3% NaF 0.5% color 0.1% methyl laurate balance Toothpaste Composition D is formed upon mixing, by co- extrusion from separate chambers of a toothpaste tube, com- ponents I and II in a 1:1 ratio just prior to use.